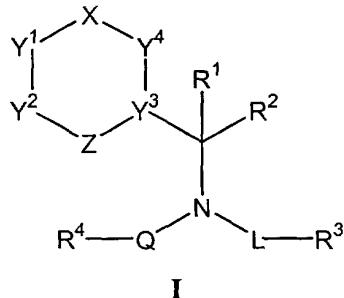


**WHAT IS CLAIMED IS:**

1       1. A compound having the formula (I):



2       wherein

3           X is a member selected from the group consisting of a bond, -C(O)-, -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)₂- and -N=;

4           Z is a member selected from the group consisting of a bond, -N=, -O-, -S-, -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;

5           L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;

6           Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO- and -CH<sub>2</sub>SO<sub>2</sub>-;

7           optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

8           R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

9           optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

10          R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;

11          R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;

12          R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group

29 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
30 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;

31 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group

32 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,

33 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting

34 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,

35 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

36 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group

37 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;

38 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
39 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and

40 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
41 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein

42 each R<sup>12</sup> is a member independently selected from the group consisting of  
43 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
44 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
45 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
46 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
47 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
48 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

49 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
50 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
51 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

52 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
53 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
54 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;

55 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
56 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

57 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
58 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
59 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
60 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
61 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

62 with the proviso that when the Y<sup>3</sup>-containing ring system is a

63 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
64 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
65 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
66 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
67 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
68 or 7-membered ring.

1                   2. A compound of Claim 1, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)- wherein R<sup>14</sup> is  
2 selected from the group consisting of aryl and heteroaryl.

1                   3. A compound of Claim 1, wherein X is -C(O)-

1                   4. A compound of Claim 1, wherein Z is -N=.

1                   5. A compound of Claim 1, wherein Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=  
2 wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered aryl or heteroaryl  
3 ring.

1                   6. A compound of Claim 1, wherein X is -C(O)-; Z is -N=; Y<sup>3</sup> is C; and  
2 Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   7. A compound of Claim 6, wherein the two R<sup>12</sup> groups are combined to  
2 form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1                   8. A compound of Claim 6, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-.

1                   9. A compound of Claim 6, wherein Y<sup>4</sup> is -C(R<sup>14</sup>)=.

1                   10. A compound of Claim 7, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-.

1                   11. A compound of Claim 7, wherein Y<sup>4</sup> is -C(R<sup>14</sup>)=.

1                   12. A compound of Claim 1, wherein L is (C<sub>1</sub>-C<sub>8</sub>)alkylene.

1                   13. A compound of Claim 1, wherein Q is -C(O)-.

1                   14. A compound of Claim 1, wherein R<sup>4</sup> is selected from the group  
2 consisting of (C<sub>5</sub>-C<sub>15</sub>)alkyl, substituted or unsubstituted phenyl and biphenyl.

1                   **15.** A compound of Claim 1, wherein R<sup>3</sup> is selected from the group  
2    consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3    C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup>  
4    and -CO<sub>2</sub>R<sup>11</sup>.

1                   **16.** A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected  
2    from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                   **17.** A compound of Claim 1, wherein Y<sup>3</sup> is C and the carbon atom shares a  
2    double bond with Z.

1                   **18.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)(R<sup>6</sup>)-; Y<sup>4</sup> is -N(R<sup>14</sup>)-,  
2    wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3    and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   **19.** A compound of Claim 18, wherein X is -CH<sub>2</sub>- and the R<sup>12</sup> groups are  
2    combined to form a substituted or unsubstituted aryl or heteroaryl ring.

1                   **20.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2    wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3    and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   **21.** A compound of Claim 20, wherein R<sup>1</sup> is H.

1                   **22.** A compound of Claim 1, wherein X is a bond; Y<sup>4</sup> is -N(R<sup>14</sup>)-, wherein  
2    R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup> and Y<sup>2</sup> are  
3    each -C(R<sup>12</sup>)=.

1                   **23.** A compound of Claim 22, wherein the R<sup>12</sup> groups are combined to  
2    form a substituted or unsubstituted aryl or heteroaryl ring.

1                   **24.** A compound of Claim 22, wherein R<sup>1</sup> is H.

1                   **25.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2    wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -C(R<sup>7</sup>)=; and  
3    Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   **26.** A compound of Claim 25, wherein R<sup>5</sup> and R<sup>12</sup> are combined to form a  
2       5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1                   **27.** A compound of Claim 25, wherein R<sup>1</sup> is H.

1                   **28.** A compound of Claim 1, wherein X is a bond; Z is -N= or -N(R<sup>17</sup>)-;  
2       Y<sup>4</sup> is -C(R<sup>14</sup>)=, wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>1</sup> is  
3       selected from the group consisting of -O-, -S- and -N(R<sup>13</sup>)-; and Y<sup>2</sup> is -C(R<sup>12</sup>)=.

1                   **29.** A compound of Claim 28, wherein Y<sup>1</sup> is -O- and Z is -N=.

1                   **30.** A compound of Claim 28, wherein Y<sup>1</sup> is -S- and Z is -N=.

1                   **31.** A compound of Claim 28, wherein Y<sup>1</sup> is -N(R<sup>13</sup>)- and Z is -N=.

1                   **32.** A compound of Claim 1, wherein X is -SO<sub>2</sub>- ; Y<sup>4</sup> is -N(R<sup>14</sup>)=, wherein  
2       R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N= or -C(R<sup>7</sup>)=; and Y<sup>1</sup>  
3       and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   **33.** A compound of Claim 32, wherein R<sup>1</sup> is H.

1                   **34.** A compound of Claim 1, wherein X is a bond; Z is -O-, -S- or  
2       -N(R<sup>17</sup>)-; Y<sup>1</sup> is -N= or -N(R<sup>13</sup>)-; Y<sup>2</sup> is -C(R<sup>12</sup>)=; and Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is  
3       substituted or unsubstituted aryl or heteroaryl.

1                   **35.** A compound of Claim 34, wherein Y<sup>1</sup> is -N= and Z is -O-.

1                   **36.** A compound of Claim 34, wherein Y<sup>1</sup> is -N= and Z is -S-.

1                   **37.** A compound of Claim 34, wherein Z is -N(R<sup>17</sup>)-.

1                   **38.** A compound of Claim 34, wherein R<sup>1</sup> is H.

1                   **39.** A compound of Claim 1, wherein X is a bond; Y<sup>1</sup> is -N(R<sup>13</sup>)- or =N-;  
2       Y<sup>2</sup> is -C(R<sup>12</sup>)=; Y<sup>3</sup> is C; Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is substituted or unsubstituted aryl or  
3       heteroaryl; and Z is -N(R<sup>17</sup>)- or =N-, with the proviso that Y<sup>1</sup> and Z are not both =N-.

1                   **40.** A compound of Claim 1, wherein X is a bond; Y<sup>1</sup> and Y<sup>2</sup> are each  
2       independently -C(R<sup>12</sup>)=; Y<sup>3</sup> is C; Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is substituted or

3 unsubstituted aryl or heteroaryl; and Z is  $-N(R^{17})-$ , O or S.

1                   **41.** A compound of Claim 40, wherein the two  $R^{12}$  groups are combined to  
2 form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1                   **42.** A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^1$  is  $-N(R^{13})-$ ;  $Y^2$  is  
2  $-N=$ ;  $Y^3$  is C;  $Y^4$  is  $-N(R^{14})-$  wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  
3 and Z is a bond.

1                   **43.** A compound of Claim 42, wherein  $R^1$  is H.

1                   **44.** A compound of Claim 1, wherein X is  $-C(O)-$ ; Z is  $-N(R^{17})-$  wherein  
2  $R^{17}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  $Y^2$  are each independently  
3  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-N=$ .

1                   **45.** A compound of Claim 44, wherein  $R^1$  is H.

1                   **46.** A compound of Claim 1, wherein X and Z are  $-N=$ ,  $Y^1$  and  $Y^2$  are each  
2 independently  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is a substituted or  
3 unsubstituted aryl or heteroaryl group.

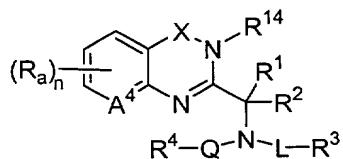
1                   **47.** A compound of Claim 46, wherein  $R^1$  is H.

1                   **48.** A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^4$  is  
2  $-N(R^{14})-C(R^5)(R^6)-$ ; wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  
3  $Y^2$  are each independently  $-C(R^{12})=$ ;  $Y^3$  is C; and Z is  $-N=$ .

1                   **49.** A compound of Claim 48, wherein  $R^1$  is H.

1                   **50.** A compound of Claim 1, wherein the  $Y^3$ -containing ring system is  
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4 pyridine, pyrazine and benzodiazepine.

1           **51. A compound of Claim 1, having the formula (III):**



wherein

A<sup>4</sup> is C or N;

X is -CO-, -CH<sub>2</sub>- or a bond;

R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

R<sup>14</sup> is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thiaryl and pyrimidinyl;

Q is -CO-;

L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

the subscript n is an integer of from 0 to 4; and

each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)R'', -NR'-C(O)NR''R'', -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

**52. A compound of Claim 51, wherein X is -C(O)-.**

**53. A compound of Claim 51, wherein X is -CH<sub>2</sub>-.**

**54. A compound of Claim 51, wherein X is a bond.**

**55. A compound of Claim 51, wherein R<sup>4</sup> is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.**

1                   **56.** A compound of Claim 51, wherein R<sup>14</sup> is selected from the group  
2   consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted  
3   thienyl, wherein the substituents are selected from the group consisting of cyano, halogen,  
4   (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and  
5   ethylenedioxy.

1                   **57.** A compound of Claim 51, wherein R<sup>14</sup> is substituted phenyl, wherein  
2   the substituents are selected from the group consisting of cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy,  
3   (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and ethylenedioxy.

1                   **58.** A compound of Claim 51, wherein R<sup>4</sup> is substituted or unsubstituted  
2   benzyl, wherein said substituents are selected from the group consisting of halogen,  
3   halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro and phenyl, and R<sup>14</sup> is substituted  
4   phenyl, wherein the substituents are selected from the group consisting of cyano, halogen,  
5   (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and  
6   ethylenedioxy.

1                   **59.** A compound of Claim 51, wherein R<sup>1</sup> is selected from the group  
2   consisting of methyl, ethyl and propyl, and R<sup>2</sup> is hydrogen.

1                   **60.** A compound of Claim 51, wherein R<sup>1</sup> and R<sup>2</sup> are each methyl.

1                   **61.** A compound of Claim 51, wherein R<sup>3</sup> is selected from the group  
2   consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3   C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl and heteroaryl.

1                   **62.** A compound of Claim 51, wherein R<sup>3</sup> is selected from the group  
2   consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted  
3   imidazolyl.

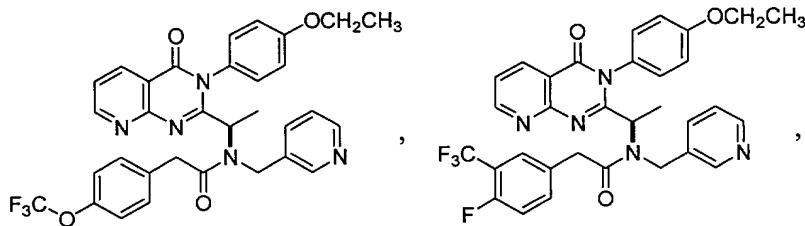
1                   **63.** A compound of Claim 51, wherein L is (C<sub>1</sub>-C<sub>4</sub>)alkylene.

1                   **64.** A compound of Claim 51, wherein X is -CO-; R<sup>1</sup> and R<sup>2</sup> are each  
2   independently selected from the group consisting of H, methyl and ethyl; R<sup>14</sup> is phenyl; ;  
3   L is methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of  
4   substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is  
5   substituted or unsubstituted benzyl, wherein said substituents are selected from the group

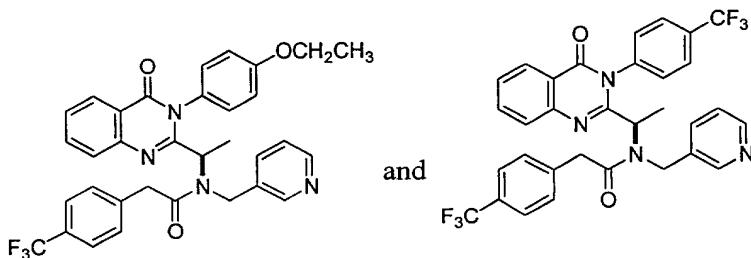
6 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
7 each R<sub>4</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
8 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R'',  
9 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
10 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
11 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
12 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                   **65.** A compound of Claim 51, wherein said compound is selected from the  
2 group consisting of:

3



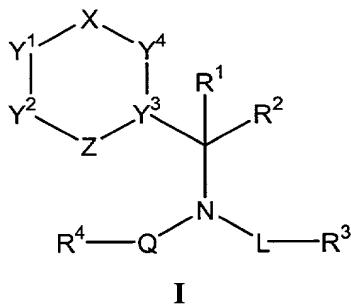
4



1                   **66.** A pharmaceutical composition comprising a pharmaceutically  
2 acceptable carrier or excipient and a compound having the formula (I):

3

4



5                   wherein

6                   X is a member selected from the group consisting of a bond, -C(O)-,  
7 -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;

8                   Z is a member selected from the group consisting of a bond,  $-N=$ ,  $-O-$ ,  $-S-$ ,  
9     $-N(R^{17})-$  and  $-C(R^7)=$ , with the proviso that X and Z are not both a bond;

10                  L is a member selected from the group consisting of a bond,  $C(O)-(C_1-$   
11     $C_8)alkylene$ ,  $(C_1-C_8)alkylene$  and  $(C_2-C_8)heteroalkylene$ ;

12                  Q is a member selected from the group consisting of a bond,  $(C_1-$   
13     $C_8)alkylene$ ,  $(C_2-C_8)heteroalkylene$ ,  $-C(O)-$ ,  $-OC(O)-$ ,  $-N(R^8)C(O)-$ ,  $-CH_2CO-$ ,  $-CH_2SO-$   
14    and  $-CH_2SO_2-$ ;

15                  optionally L and Q can be linked together to form a 5- or 6-membered  
16    heterocyclic group having from 1 to 3 heteroatoms;

17                  R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
18    of H,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ , aryl and heteroaryl, or optionally are combined to  
19    form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

20                  optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
21    heterocyclic group having from 1 to 4 heteroatoms;

22                  R<sup>3</sup> is a member selected from the group consisting of hydroxy,  $(C_1-$   
23     $C_8)alkoxy$ , amino,  $(C_1-C_8)alkylamino$ , di( $C_1-C_8)alkylamino$ ,  $(C_2-C_8)heteroalkyl$ ,  $(C_3-$   
24     $C_9)heterocyclyl$ ,  $(C_1-C_8)acylamino$ , amidino, guanidino, ureido, cyano, heteroaryl,  
25     $-CONR^9R^{10}$  and  $-CO_2R^{11}$ ;

26                  R<sup>4</sup> is a member selected from the group consisting of  $(C_1-C_{20})alkyl$ ,  $(C_2-$   
27     $C_{20})heteroalkyl$ , heteroaryl, aryl, heteroaryl( $C_1-C_6)alkyl$ , heteroaryl( $C_2-C_6)heteroalkyl$ ,  
28    aryl( $C_1-C_6)alkyl$  and aryl( $C_2-C_6)heteroalkyl$ ;

29                  R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
30    consisting of H,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ , heteroaryl and aryl, or optionally R<sup>5</sup>  
31    and R<sup>6</sup> are combined to form a 3- to 7-membered ring;

32                  R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
33    consisting of H,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ , heteroaryl and aryl,

34                  each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
35    of H,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ , heteroaryl, aryl, heteroaryl( $C_1-C_6)alkyl$ ,  
36    heteroaryl( $C_2-C_8)heteroalkyl$ , aryl( $C_1-C_8)alkyl$  and aryl( $C_2-C_8)heteroalkyl$ ;

37                  Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
38    consisting of  $-C(R^{12})=$ ,  $-N=$ ,  $-O-$ ,  $-S-$  and  $-N(R^{13})-$ ;

39                  Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
40    carbon atom shares a double bond with either Z or Y<sup>4</sup>; and

41                  Y<sup>4</sup> is a member selected from the group consisting of  $-N(R^{14})-$ ,  $-C(R^{14})=$ ,

42 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
43                   each R<sup>12</sup> is a member independently selected from the group consisting of  
44 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
45 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
46 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
47 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
48 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
49 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
50                   R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
51 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
52 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
53                   R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
54 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
55 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
56                   R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
57 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
58                   R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
59 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
60 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
61 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
62 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
63                   with the proviso that when the Y<sup>3</sup>-containing ring system is a  
64 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
65 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
66 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R", wherein R' and  
67 R" are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
68 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
69 or 7-membered ring.

1                   **67.** A composition of Claim 66, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)- wherein R<sup>14</sup> is  
2 selected from the group consisting of aryl and heteroaryl.

1                   **68.** A composition of Claim 66, wherein X is -C(O)-.

1                   **69.** A composition of Claim 66, wherein Z is -N=.

1                   **70.** A composition of Claim 66, wherein Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=  
2   wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered aryl or heteroaryl  
3   ring.

1                   **71.** A composition of Claim 66, wherein X is -C(O)-; Z is -N=; Y<sup>3</sup> is C;  
2   and Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)= wherein the two R<sup>12</sup> groups are combined to form a  
3   fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1                   **72.** A composition of Claim 66, wherein L is (C<sub>1</sub>-C<sub>8</sub>)alkylene.

1                   **73.** A composition of Claim 66, wherein Q is -C(O)-.

1                   **74.** A composition of Claim 66, wherein R<sup>4</sup> is selected from the group  
2   consisting of (C<sub>5</sub>-C<sub>15</sub>)alkyl, substituted or unsubstituted phenyl and biphenyl.

1                   **75.** A composition of Claim 66, wherein R<sup>3</sup> is selected from the group  
2   consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3   C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup>  
4   and -CO<sub>2</sub>R<sup>11</sup>.

1                   **76.** A composition of Claim 66, wherein R<sup>1</sup> and R<sup>2</sup> are independently  
2   selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                   **77.** A composition of Claim 66, wherein Y<sup>3</sup> is C and the carbon atom  
2   shares a double bond with Z.

1                   **78.** A composition of Claim 66, wherein the Y<sup>3</sup>-containing ring system is  
2   selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3   quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4   pyridine, pyrazine and benzodiazepine.

1                   **79.** A composition of Claim 66, wherein the compound has the formula  
2   (III):



III

wherein

$A^4$  is C or N;

X is  $=\text{CO}_2$ ,  $=\text{CH}_2$  or a bond;

$R^1$  and  $R^2$  are each members independently selected from the group

9 consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

$R^{14}$  is a substituted or unsubstituted member selected from the group

11 consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is  $\text{--CO--}$ ;

L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

the subscript  $n$  is an integer of from 0 to 4; and

each  $R_a$  is independently selected from the group consisting of halogen, -

16 OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R'

17 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)2R', -NR'-C(O)NR''R'', -NH-C(NH<sub>2</sub>)=NH, -

18 NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -S(O)R<sub>2</sub>', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>

19 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each

20 independently selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl

21 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and

22 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

80. A composition in accordance with Claim 79, wherein X is  $-\text{C}(\text{O})-$ .

81. A composition in accordance with Claim 79, wherein X is  $-\text{CH}_2-$ .

82. A composition in accordance with Claim 79, wherein X is a bond.

1                   **83.** A composition in accordance with Claim 79, wherein R<sup>4</sup> is substituted  
2 or unsubstituted benzyl, wherein said substituents are selected from the group consisting  
3 of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.

1                   **84.** A composition in accordance with Claim 79, wherein R<sup>14</sup> is selected  
2 from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl  
3 and substituted thienyl, wherein the substituents are selected from the group consisting of  
4 cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>,  
5 methylenedioxy and ethylenedioxy.

1                   **85.** A composition in accordance with Claim 79, wherein R<sup>1</sup> is selected  
2 from the group consisting of methyl, ethyl and propyl, and R<sup>2</sup> is.

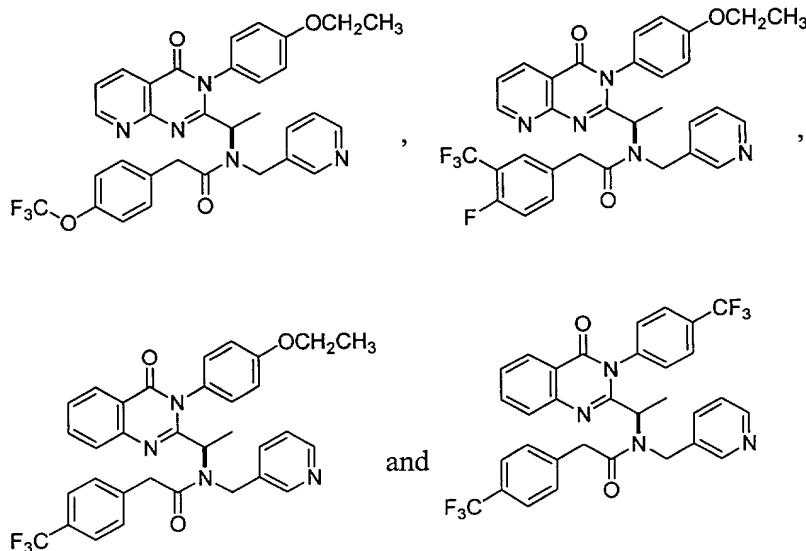
1                   **86.** A composition in accordance with Claim 79, wherein R<sup>1</sup> and R<sup>2</sup> are  
2 each methyl.

1                   **87.** A composition in accordance with Claim 79, wherein R<sup>3</sup> is selected  
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or  
3 unsubstituted imidazolyl.

1                   **88.** A composition in accordance with Claim 79, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

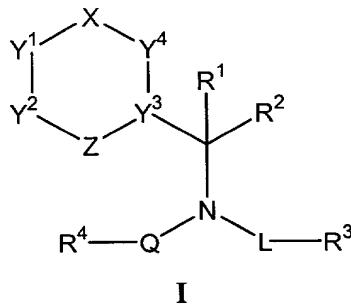
1                   **89.** A composition in accordance with Claim 79, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; L is  
4 methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of substituted  
5 or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is substituted or  
6 unsubstituted benzyl, wherein said substituents are selected from the group consisting of  
7 halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and each R<sub>a</sub> is  
8 selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN,  
9 -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''', perfluoro(C<sub>1</sub>-  
10 C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
11 selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted  
12 aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted  
13 aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                   **90.** The composition of Claim 79, wherein said compound is:



2

1           **91. A method of treating an inflammatory or immune condition or disease**  
 2    in a subject, said method comprising administering to a subject in need of such treatment  
 3    a therapeutically effective amount of a compound having the formula (I):



4           wherein

5           X is a member selected from the group consisting of a bond, -C(O)-,  
 6    -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;  
 7           Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
 8    -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;  
 9           L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
 10    C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;  
 11           Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
 12    C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-  
 13    and -CH<sub>2</sub>SO<sub>2</sub>-;  
 14           optionally L and Q can be linked together to form a 5- or 6-membered  
 15    heterocyclic group having from 1 to 3 heteroatoms;

18                   R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

21                   optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
22 heterocyclic group having from 1 to 4 heteroatoms;

23                   R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;

27                   R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;

30                   R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
32 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;

33                   R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,

35                   each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

38                   Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;

40                   Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
41 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and

42                   Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein

44                   each R<sup>12</sup> is a member independently selected from the group consisting of  
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
46 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

51                   R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,

52 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
53 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

54 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
55 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;

57 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

59 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
66 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
67 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R", wherein R' and  
68 R" are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
70 or 7-membered ring.

1                   **92.** The method of Claim 91, wherein said compound is administered  
2 orally, parenterally or topically.

1                   **93.** The method of Claim 91, wherein said compound modulates CXCR3.

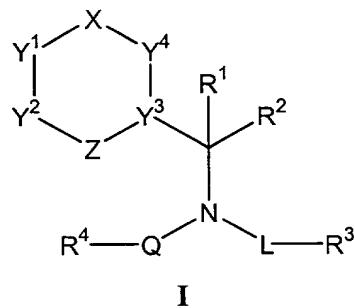
1                   **94.** The method of Claim 91, wherein said compound is a CXCR3  
2 antagonist.

1                   **95.** The method of Claim 91, wherein said inflammatory or immune  
2 condition or disease is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,

8 organ transplant conditions and skin transplant conditions.

1                   96. The method of Claim 91, wherein said compound is administered in  
2 combination with a second therapeutic agent, wherein said second therapeutic agent is  
3 useful for treating or preventing neurodegenerative diseases, multiple sclerosis, systemic  
4 lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis,  
5 hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes,  
6 asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease,  
7 sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease,  
8 Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant  
9 conditions or skin transplant conditions.

1                   97. A method of treating a CXCR3-mediated condition or disease in a  
2 subject, said method comprising administering to a subject in need of such treatment a  
3 therapeutically effective amount of a compound having the formula (I):



6                   wherein

7                   X is a member selected from the group consisting of a bond, -C(O)-,  
8 -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)₂- and -N=;  
9                   Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
10 -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;  
11                   L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
12 C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;  
13                   Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
14 C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-  
15 and -CH<sub>2</sub>SO<sub>2</sub>-;  
16                   optionally L and Q can be linked together to form a 5- or 6-membered  
17 heterocyclic group having from 1 to 3 heteroatoms;  
18                   R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting

19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

21                   optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
22 heterocyclic group having from 1 to 4 heteroatoms;

23                   R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;

27                   R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;

30                   R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
32 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;

33                   R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,

35                   each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

38                   Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;

40                   Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
41 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and

42                   Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein

44                   each R<sup>12</sup> is a member independently selected from the group consisting of  
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
46 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

51                   R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
52 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,

53 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
54 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
55 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
57 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
59 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
64 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
66 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
67 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R", wherein R' and  
68 R" are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
70 or 7-membered ring.

1           **98.** A method in accordance with Claim 97, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-  
2 wherein R<sup>14</sup> is selected from the group consisting of aryl and heteroaryl.

1           **99.** A method in accordance with Claim 97, wherein X is -C(O)-.

1           **100.** A method in accordance with Claim 97, wherein Z is -N=.

1           **101.** A method in accordance with Claim 97, wherein Y<sup>1</sup> and Y<sup>2</sup> are  
2 each -C(R<sup>12</sup>)=, wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered  
3 aryl or heteroaryl ring.

1           **102.** A method in accordance with Claim 97, wherein X is -C(O)-; Z is  
2 -N=; Y<sup>3</sup> is C; and Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)= wherein the two R<sup>12</sup> groups are combined  
3 to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1           **103.** A method in accordance with Claim 97, wherein L is (C<sub>1</sub>-  
2 C<sub>8</sub>)alkylene.

1                   **104.** A method in accordance with Claim 97, wherein Q is  $-\text{C}(\text{O})-$ .

1                   **105.** A method in accordance with Claim 97, wherein  $\text{R}^4$  is selected  
2 from the group consisting of  $(\text{C}_5\text{-C}_{15})\text{alkyl}$ , substituted or unsubstituted phenyl and  
3 biphenyl.

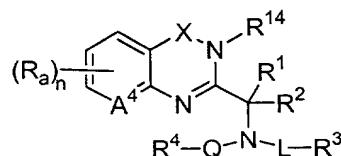
1                   **106.** A method in accordance with Claim 97, wherein  $\text{R}^3$  is selected  
2 from the group consisting of  $(\text{C}_1\text{-C}_8)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_8)\text{alkylamino}$ ,  $\text{di}(\text{C}_1\text{-C}_8)\text{alkylamino}$ ,  
3  $(\text{C}_2\text{-C}_8)\text{heteroalkyl}$ ,  $(\text{C}_3\text{-C}_9)\text{heterocyclyl}$ ,  $(\text{C}_1\text{-C}_8)\text{acylamino}$ , cyano, heteroaryl,  
4  $-\text{CONR}^9\text{R}^{10}$  and  $-\text{CO}_2\text{R}^{11}$ .

1                   **107.** A method in accordance with Claim 97, wherein  $\text{R}^1$  and  $\text{R}^2$  are  
2 independently selected from the group consisting of H and  $(\text{C}_1\text{-C}_4)\text{alkyl}$ .

1                   **108.** A method in accordance with Claim 97, wherein  $\text{Y}^3$  is C and the  
2 carbon atom shares a double bond with Z.

1                   **109.** A method in accordance with Claim 97, wherein the  $\text{Y}^3$ -containing  
2 ring system is selected from the group consisting of quinoline, quinazoline, naphthalene,  
3 quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole,  
4 imidazole, pyridine, pyrazine and benzodiazepine.

1                   **110.** A method in accordance with Claim 97, wherein said compound  
2 has the formula (III):



III

5    wherein

6     $\text{A}^4$  is C or N;

7    X is  $-\text{CO}-$ ,  $-\text{CH}_2-$  or a bond;

8     $\text{R}^1$  and  $\text{R}^2$  are each members independently selected from the group consisting of  
9    H and  $(\text{C}_1\text{-C}_4)\text{alkyl}$ ;

10    $\text{R}^{14}$  is a substituted or unsubstituted member selected from the group consisting of  
11    phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

12       Q is  $-CO-$ ;  
13       L is  $(C_1-C_8)alkylene$ ;  
14       the subscript n is an integer of from 0 to 4; and  
15       each  $R_a$  is independently selected from the group consisting of halogen,  $-OR'$ ,  
16            $-OC(O)R'$ ,  $-NR'R''$ ,  $-SR'$ ,  $-R'$ ,  $-CN$ ,  $-NO_2$ ,  $-CO_2R'$ ,  $-CONR'R''$ ,  $-C(O)R'$ ,  
17            $-OC(O)NR'R''$ ,  $-NR''C(O)R'$ ,  $-NR''C(O)_2R'$ ,  $-NR'-C(O)NR''R''$ ,  
18            $-NH-C(NH_2)=NH$ ,  $-NR'C(NH_2)=NH$ ,  $-NH-C(NH_2)=NR'$ ,  $-S(O)R'$ ,  $-$   
19            $S(O)_2R'$ ,  $-S(O)_2NR'R''$ ,  $-N_3$ ,  $-CH(Ph)_2$ , perfluoro( $C_1-C_4$ )alkoxy, and  
20           perfluoro( $C_1-C_4$ )alkyl, wherein  $R'$ ,  $R''$  and  $R'''$  are each independently  
21           selected from the group consisting of H,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ ,  
22           unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)- $(C_1-$   
23            $C_4)alkyl$ , and (unsubstituted aryl)oxy- $(C_1-C_4)alkyl$ .

1       **111.** A method in accordance with Claim 110, wherein X is  $-C(O)-$ .

1       **112.** A method in accordance with Claim 110, wherein X is  $-CH_2-$ .

1       **113.** A method in accordance with Claim 110, wherein X is a bond.

1       **114.** A method in accordance with Claim 110, wherein  $R^4$  is substituted  
2       or unsubstituted benzyl, wherein said substituents are selected from the group consisting  
3       of halogen, halo( $C_1-C_4$ )alkyl, halo( $C_1-C_4$ )alkoxy, cyano, nitro, and phenyl.

1       **115.** A method in accordance with Claim 110, wherein  $R^{14}$  is selected  
2       from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl  
3       and substituted thienyl, wherein the substituents are selected from the group consisting of  
4       cyano, halogen,  $(C_1-C_8)alkoxy$ ,  $(C_1-C_8)alkyl$ ,  $(C_2-C_8)heteroalkyl$ ,  $CONH_2$ ,  
5       methylenedioxy and ethylenedioxy.

1       **116.** A method in accordance with Claim 110, wherein  $R^1$  is selected  
2       from the group consisting of methyl, ethyl and propyl, and  $R^2$  is hydrogen.

1       **117.** A method in accordance with Claim 110, wherein  $R^1$  and  $R^2$  are  
2       each methyl.

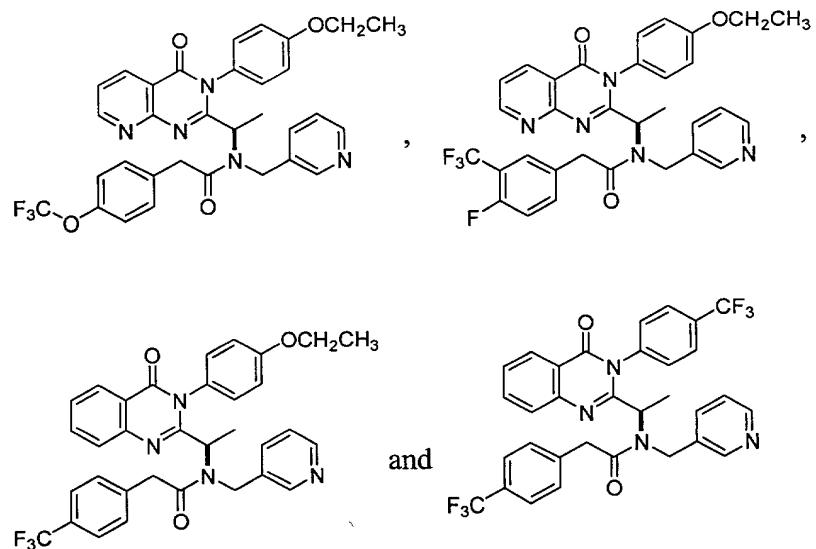
1       **118.** A method in accordance with Claim 110, wherein  $R^3$  is selected  
2       from the group consisting of substituted or unsubstituted pyridyl and substituted or

3 unsubstituted imidazolyl.

1 **119.** A method in accordance with Claim 110, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

1 **120.** A method in accordance with Claim 110, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of H, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; Q is -  
4 CO-; L is methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of  
5 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is  
6 substituted or unsubstituted benzyl, wherein said substituents are selected from the group  
7 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
8 each R<sub>a</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
9 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR'C(O)R', -NR'-C(O)NR''R'',  
10 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
11 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
12 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
13 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 **121.** The method of Claim 110, wherein said compound is selected from  
2 the group consisting of:



1                   **122.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,  
8 organ transplant conditions and skin transplant conditions.

1                   **123.** The method of Claim 97, wherein said compound modulates  
2 CXCR3.

1                   **124.** A method in accordance with Claim 110, wherein said compound  
2 is administered in combination with a second therapeutic agent, wherein said second  
3 therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis,  
4 systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis,  
5 meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I  
6 diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary  
7 disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's  
8 disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ  
9 transplant conditions or skin transplant conditions.

1                   **125.** A method in accordance with Claim 124, wherein said organ  
2 transplant condition is a bone marrow transplant condition or a solid organ transplant  
3 condition.

1                   **126.** A method in accordance with Claim 125, wherein said solid organ  
2 transplant condition is a kidney transplant condition, a liver transplant condition, a lung  
3 transplant condition, a heart transplant condition or a pancreas transplant condition.

1                   **127.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is restenosis.

1                   **128.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid

3 arthritis and organ transplant conditions.

1                   **129.** A method in accordance with Claim 110, wherein said compound  
2 is used in conjunction with another therapeutic agent selected from the group consisting  
3 of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant,  
4 methotrexate, prednisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate,  
5 hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound,  
6 an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

1                   **130.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is an organ transplant condition and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene,  
5 cyclophosphamide and an antilymphocyte globulin.

1                   **131.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is rheumatoid arthritis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine,  
5 Remicade®, Enbrel®, auranofin and aurothioglucose.

1                   **132.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is multiple sclerosis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

1                   **133.** The method of Claim 110, wherein said subject is a human.

1                   **134.** A method for the modulation of CXCR3 function in a cell,  
2 comprising contacting said cell with a compound of Claim 1.

1                   **135.** A method for the modulation of CXCR3 function, comprising  
2 contacting a CXCR3 protein with a compound of Claim 1.  
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